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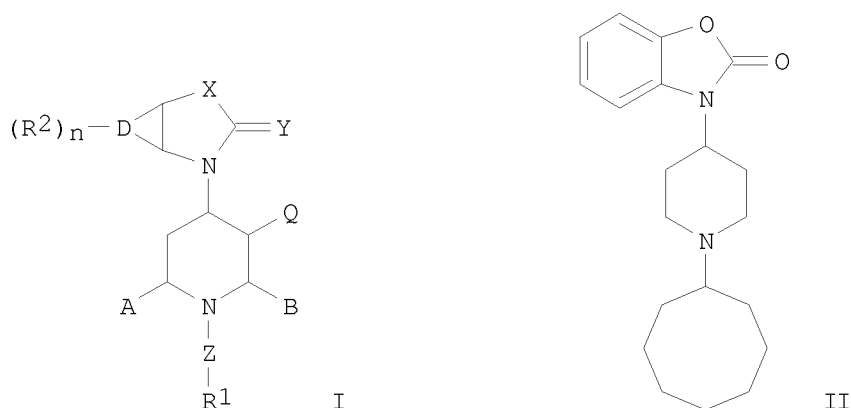
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L1 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2010 ACS on STN
AN 2002:832612 CAPLUS
DN 137:337889
TI Preparation of piperidiny-substituted benzoxazolones, indolones,
benzimidazolones, and benzimidazolimines with nociceptin affinity for
treatment of pain
IN Sun, Qun; Goehring, R. Richard; Kyle, Donald; Chen, Zhengming; Victory,
Sam; Whitehead, John
PA Euro-Celtique, S.A., Luxembourg
SO PCT Int. Appl., 149 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002085357	A1	20021031	WO 2002-US12351	20020418
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JP 2002-582930	A3	20020418		

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OS MARPAT 137:337889
GI



AB Title compds. I [wherein D = cycloalkyl, heterocyclyl, or (hetero)aryl; n = 0-3; A, B, and Q = independently H, (cyclo)alkyl, (cycloalkoxy), CH₂OH, NHSO₂, hydroxyalkyl, aminocarbonyl, (di)alkylaminocarbonyl, acylamino(alkyl), amido, or sulfonylaminoalkyl; or AB, BQ, or AQ = C2-6 bridge; X = C, N, or O; Y = O; or when X = N, Y = NCN; Z = a bond or (un)substituted alkylene, NH, CH₂O, CH₂NH, CH₂N(Me), NHCH₂, CH₂CONH, NHCH₂CO, CH₂CO, COCH₂, CH₂COCH₂, CH(Me), CH:, O, or CH:CH; R₁ = H, (cyclo)alkyl, (cyclo)alkenyl, NH₂, (cyclo)alkylamino, carboxy(alkyl), CN, cyano(cyclo)alkyl, NH₂SO₂(alkyl), NH₂CO, (di)alkylaminocarbonyl, PhCH₂, mono-, bi- or tricyclic (hetero)aryl, hetero bicyclic ring, or spiro ring; or pharmaceutically acceptable salts or solvates thereof] were prepared as opioid receptor-like receptor 1 (ORL1) agonists and antagonists for treatment of pain. For example, 2-aminophenol was condensed with 1-(tert-butoxycarbonyl)-4-piperidinone using Na(AcO)₃BH and DCE in AcOH to give the disubstituted amine (94%). Cyclization with triphosgene in the presence of DIEA in THF gave the protected piperidiny 2-benzoxazolone (78%). Deprotection (66%) followed by alkylation afforded 3-[1-(cyclooctyl)-4-piperidiny]-2H-benzoxazol-2-one (II), which exhibited nociceptin affinity at the ORL1 receptor with K_i of 16 nM.

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RE.CNT    18      THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD
              ALL CITATIONS AVAILABLE IN THE RE FORMAT
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=> analyze ll
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ANAYLYZE IS NOT A RECOGNIZED COMMAND

The previous command name entered was not recognized by the system. For a list of commands available to you in the current file, enter "HELP COMMANDS" at an arrow prompt (=>).

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ENTER DISPLAY CODE (TI) OR ?:rn
L2          ANALYZE L1 1 RN :      158 TERMS
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FULL ESTIMATED COST          20.91      21.13

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)  SINCE FILE      TOTAL
                                               ENTRY      SESSION
CA SUBSCRIBER PRICE          -0.85      -0.85
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FILE 'REGISTRY' ENTERED AT 10:24:53 ON 03 SEP 2010
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2010 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

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STRUCTURE FILE UPDATES:      2 SEP 2010  HIGHEST RN 1239943-81-7
DICTIONARY FILE UPDATES:      2 SEP 2010  HIGHEST RN 1239943-81-7
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New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 26, 2010.

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

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L3          158 L2
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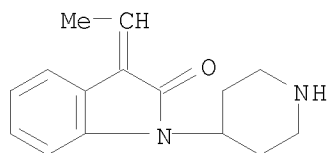
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L6          81 L4 NOT L5
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=> d scan
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L6      81 ANSWERS  REGISTRY  COPYRIGHT 2010 ACS on STN
IN      2H-Indol-2-one, 3-ethylidene-1,3-dihydro-1-(4-piperidinyl)-
MF      C15 H18 N2 O
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PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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L7 52 L6 AND INDOL?

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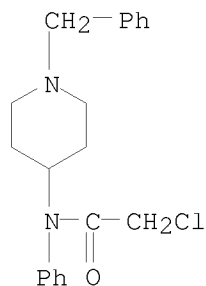
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L8 29 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

IN Acetamide, 2-chloro-N-phenyl-N-[1-(phenylmethyl)-4-piperidinyl]-

MF C20 H23 Cl N2 O

CI COM



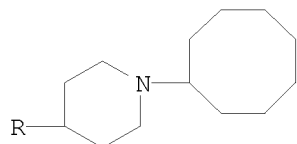
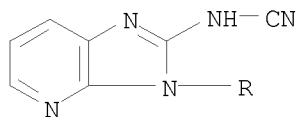
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L8 29 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN

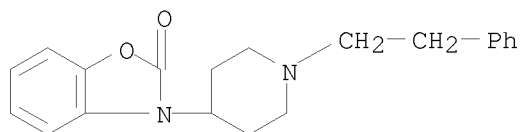
IN Cyanamide, [3-(1-cyclooctyl-4-piperidinyl)-3H-imidazo[4,5-b]pyridin-2-yl]- (9CI)

MF C20 H28 N6



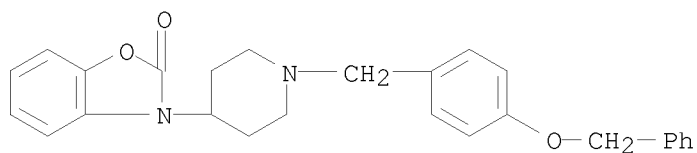
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L8 29 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN
 IN 2(3H)-Benzoxazolone, 3-[1-(2-phenylethyl)-4-piperidinyl]-
 MF C20 H22 N2 O2



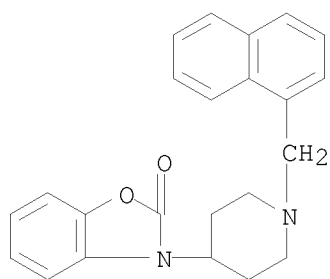
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L8 29 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN
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 piperidinyl]-
 MF C26 H26 N2 O3



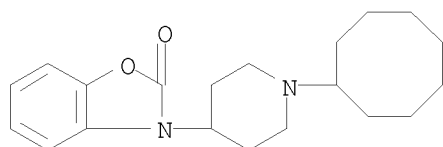
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L8 29 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN
 IN 2(3H)-Benzoxazolone, 3-[1-(1-naphthalenylmethyl)-4-piperidinyl]-
 MF C23 H22 N2 O2



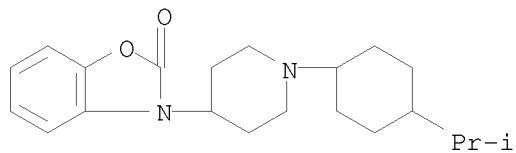
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 IN 2(3H)-Benzoxazolone, 3-(1-cyclooctyl-4-piperidinyl)-
 MF C20 H28 N2 O2



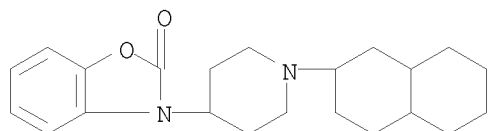
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L8 29 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN
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 piperidinyl]-
 MF C21 H30 N2 O2



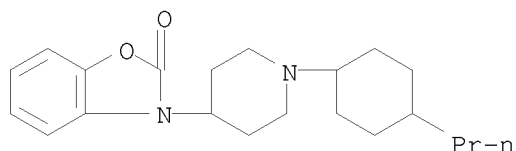
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L8 29 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN
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 MF C22 H30 N2 O2



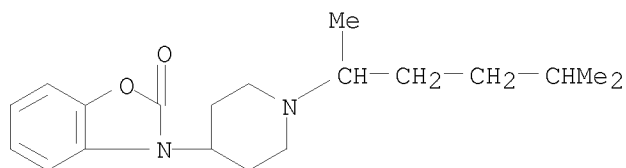
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L8 29 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN
IN 2(3H)-Benzoxazolone, 3-[1-(4-propylcyclohexyl)-4-piperidinyl]-
MF C21 H30 N2 O2



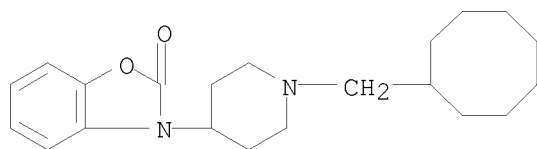
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L8 29 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN
IN 2(3H)-Benzoxazolone, 3-[1-(1,4-dimethylpentyl)-4-piperidinyl]-
MF C19 H28 N2 O2



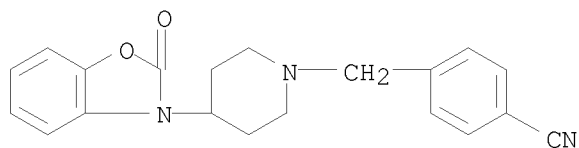
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MF C21 H30 N2 O2



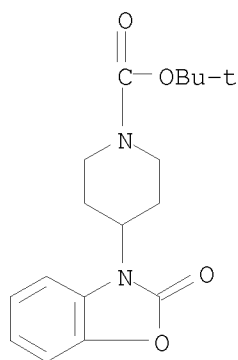
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L8 29 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN
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MF C20 H19 N3 O2



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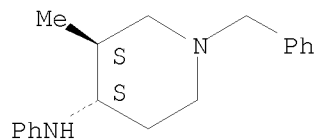
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 IN 1-Piperidinecarboxylic acid, 4-(2-oxo-3(2H)-benzoxazolyl)-,
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 MF C17 H22 N2 O4



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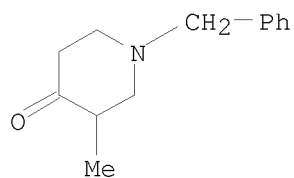
L8 29 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN
 IN 4-Piperidinamine, 3-methyl-N-phenyl-1-(phenylmethyl)-,
 (3R,4R)-rel-
 MF C19 H24 N2
 CI COM

Relative stereochemistry.



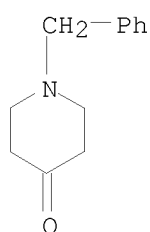
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L8 29 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN
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 CI COM



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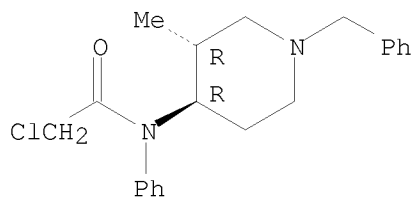
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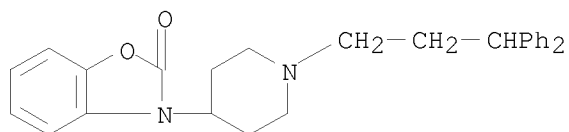
L8 29 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN
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 MF C21 H25 Cl N2 O

Relative stereochemistry.



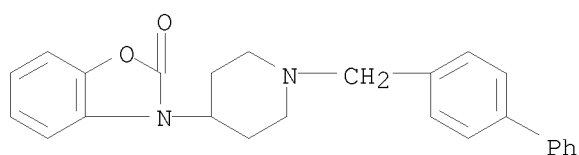
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L8 29 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN
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 MF C27 H28 N2 O2



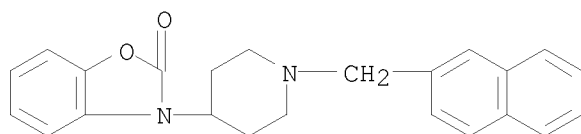
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L8 29 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN
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 MF C25 H24 N2 O2



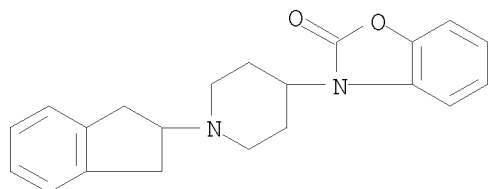
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 MF C23 H22 N2 O2



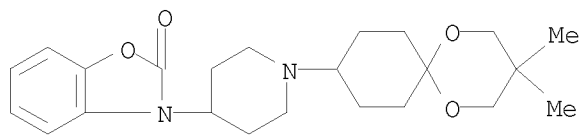
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 IN 2(3H)-Benzoxazolone, 3-[1-(2,3-dihydro-1H-inden-2-yl)-4-piperidinyl]-
 MF C21 H22 N2 O2



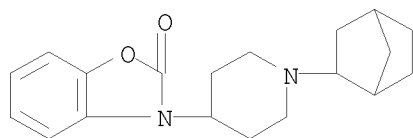
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L8 29 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN
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 MF C23 H32 N2 O4



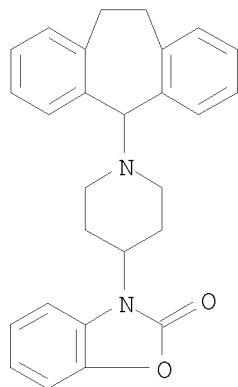
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L8 29 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN
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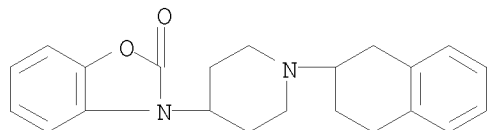
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L8 29 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN
 IN 2(3H)-Benzoxazolone, 3-[1-(10,11-dihydro-5H-dibenzo[a,d]cyclohepten-5-yl)-4-piperidinyl]-
 MF C27 H26 N2 O2



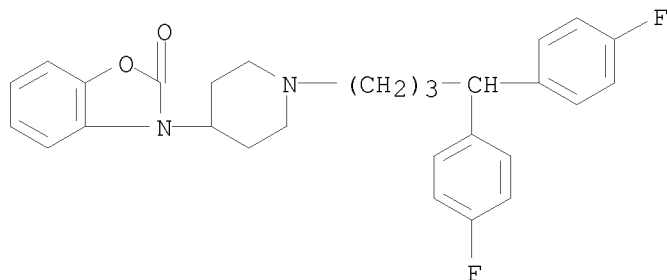
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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 IN 2(3H)-Benzoxazolone, 3-[1-(1,2,3,4-tetrahydro-2-naphthalenyl)-4-piperidinyl]-
 MF C22 H24 N2 O2



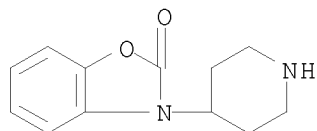
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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 IN 2(3H)-Benzoxazolone, 3-[1-[4,4-bis(4-fluorophenyl)butyl]-4-piperidinyl]-
 MF C28 H28 F2 N2 O2



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

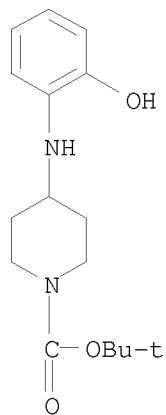
L8 29 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN
 IN 2(3H)-Benzoxazolone, 3-(4-piperidinyl)-
 MF C12 H14 N2 O2
 CI COM



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

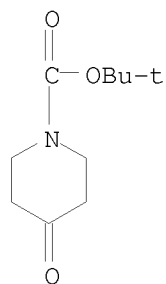
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IN 1-Piperidinecarboxylic acid, 4-[(2-hydroxyphenyl)amino]-,
1,1-dimethylethyl ester
MF C16 H24 N2 O3



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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IN 1-Piperidinecarboxylic acid, 4-oxo-, 1,1-dimethylethyl ester
MF C10 H17 N O3
CI COM



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

ALL ANSWERS HAVE BEEN SCANNED